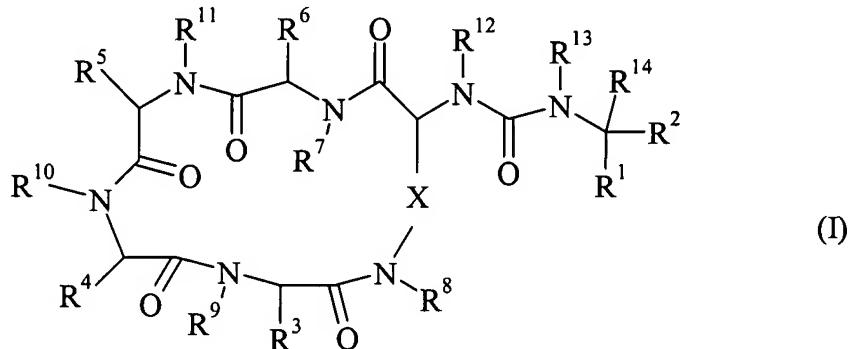


IN THE CLAIMS:

Claim 1 (currently amended): A method for the treatment or prophylaxis of a disease or medical condition wherein inhibition of carboxypeptidase U is beneficial, said method comprising administering to a warm-blooded animal in need thereof an effective amount The use of a compound of formula (I):



wherein:

X is $(\text{CH}_2)_m \text{Y}(\text{CH}_2)_n$;

m and n are, independently, 1, 2, 3, 4, 5 or 6; provided that m + n is not more than 6;

Y is a bond, O, $\text{S}(\text{O})_p$, or S-S;

~~R¹ is CO_2R^{15} or a carboxylic acid isostere such as $\text{S}(\text{O})_2\text{OH}$, $\text{S}(\text{O})_2\text{NHR}^{15}$, $\text{PO}(\text{OR}^{15})\text{OH}$, $\text{PO}(\text{OR}^{15})\text{NH}_2$, $\text{B}(\text{OR}^{15})_2$, $\text{PO}(\text{R}^{15})\text{OH}$, $\text{PO}(\text{R}^{15})\text{NH}_2$ or tetrazole;~~

~~R², R³, R⁴, R⁵ and R⁶ are, independently, hydrogen, C₁₋₆ alkyl (optionally substituted by halogen, hydroxy, cyano, SH, $\text{S}(\text{O})_3\text{H}$, $\text{S}(\text{O})_q(\text{C}_{1-6} \text{ alkyl})$, $\text{OC}(\text{O})(\text{C}_{1-4} \text{ alkyl})$, CF_3 , C₁₋₄ alkoxy, OCF_3 , COOH, CONH₂, CONH(C₁₋₆ alkyl), NH₂, CNH(NH₂), or $\text{NHCNH}(\text{NH}_2)$), C₃₋₆ cycloalkyl(C₁₋₄)alkyl (wherein the cycloalkyl ring is optionally substituted by halogen, hydroxy, cyano, C₁₋₄ alkyl, CF_3 , C₁₋₄ alkoxy, OCF_3 , NH₂, CNH(NH₂) or $\text{NHCNH}(\text{NH}_2)$), heterocyclyl(C₁₋₄)alkyl (wherein the heterocyclyl ring is optionally substituted by halogen, hydroxy, cyano, C₁₋₄ alkyl, CF_3 , C₁₋₄ alkoxy, OCF_3 , NH₂, CNH(NH₂) or $\text{NHCNH}(\text{NH}_2)$), phenyl(C₁₋₄)alkyl (wherein the phenyl ring is optionally substituted by halogen, hydroxy, cyano, C₁₋₄ alkyl, CF_3 , C₁₋₄ alkoxy, OCF_3 , NH₂, CNH(NH₂) or $\text{NHCNH}(\text{NH}_2)$) or heteroaryl(C₁₋₄)alkyl (wherein the heteroaryl ring is~~

optionally substituted by halogen, hydroxy, cyano, C₁₋₄ alkyl, CF₃, C₁₋₄ alkoxy, OCF₃, NH₂, CNH(NH₂) or NHCNH(NH₂));

p and q are, independently, 0, 1 or 2;

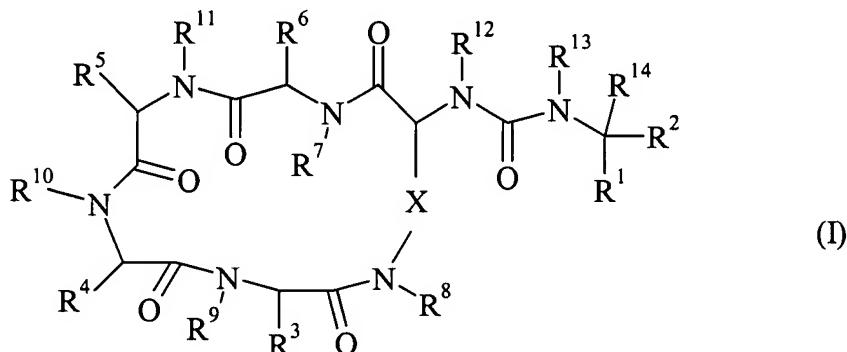
R⁷, R⁸, R⁹, R¹⁰, R¹¹, R¹² and R¹³ are, independently, H or C₁₋₄ alkyl;

R¹⁴ is H or C₁₋₄ alkyl; and,

R¹⁵ is H or C₁₋₄ alkyl;

or a pharmaceutically acceptable salt thereof, ~~or solvate thereof, or a solvate of such a salt; in a method of manufacturing a medicament for the treatment or prophylaxis of a condition wherein inhibition of carboxypeptidase U is beneficial.~~

Claim 2 (currently amended): A compound of formula (I):



wherein:

X is (CH₂)₄;

R¹ is CO₂R¹⁵;

R² is C₁₋₆ alkyl, benzyl, straight-chain C₁₋₆ alkyl substituted at its terminus by NH₂, CNH(NH₂), or NHCNH(NH₂) or (6-aminopyridin-3-yl)methyl; C₃₋₆ cycloalkyl substituted by NH₂, CNH(NH₂) or NHCNH(NH₂); heterocyclyl containing at least one nitrogen atom; non-nitrogen containing heterocyclyl substituted with NH₂, CNH(NH₂) or NHCNH(NH₂); heteroaryl substituted with NH₂, CNH(NH₂) or NHCNH(NH₂); phenyl substituted with NH₂, CNH(NH₂) or NHCNH(NH₂); heteroaryl(C₁₋₄)alkyl substituted with NH₂, CNH(NH₂) or NHCNH(NH₂); phenyl(C₁₋₄)alkyl substituted with NH₂, CNH(NH₂) or NHCNH(NH₂); or C₃₋₆ cycloalkyl(C₁₋₄)alkyl substituted with NH₂, CNH(NH₂) or NHCNH(NH₂);

CNH(NH₂) or NHCNH(NH₂); all of the above rings being optionally further substituted by one or more of: halogen, hydroxy, cyano, C₁₋₄ alkyl, CF₃, C₁₋₄ alkoxy or OCF₃; one of R³, R⁴, R⁵ and R⁶ is independently, hydrogen, heteroaryl(C₁₋₄)alkyl (wherein the heteroaryl ring is optionally substituted by one or more of halogen, hydroxy, cyano, C₁₋₄ alkyl, CF₃, C₁₋₄ alkoxy, OCF₃, NH₂, CNH(NH₂) or NHCNH(NH₂)); and the others are, independently, hydrogen, C₁₋₆ alkyl (optionally substituted by halogen, hydroxy, cyano, SH, S(O)₃H, S(O)_q(C₁₋₆ alkyl), OC(O)(C₁₋₄ alkyl), CF₃, C₁₋₄ alkoxy, OCF₃, COOH, CONH₂, CONH(C₁₋₆ alkyl), NH₂, CNH(NH₂), or NHCNH(NH₂)), C₃₋₆ cycloalkyl(C₁₋₄)alkyl (wherein the cycloalkyl ring is optionally substituted by halogen, hydroxy, cyano, C₁₋₄ alkyl, CF₃, C₁₋₄ alkoxy, OCF₃, NH₂, CNH(NH₂) or NHCNH(NH₂)), heterocycl(C₁₋₄)alkyl (wherein the heterocycl ring is optionally substituted by halogen, hydroxy, cyano, C₁₋₄ alkyl, CF₃, C₁₋₄ alkoxy, OCF₃, NH₂, CNH(NH₂) or NHCNH(NH₂)), phenyl(C₁₋₄)alkyl (wherein the phenyl ring is optionally substituted by halogen, hydroxy, cyano, C₁₋₄ alkyl, CF₃, C₁₋₄ alkoxy, OCF₃, NH₂, CNH(NH₂) or NHCNH(NH₂)) or heteroaryl(C₁₋₄)alkyl (wherein the heteroaryl ring is optionally substituted by halogen, hydroxy, cyano, C₁₋₄ alkyl, CF₃, C₁₋₄ alkoxy, OCF₃, NH₂, CNH(NH₂) or NHCNH(NH₂)); p and q are, independently, 0, 1 or 2;

R⁷, R⁸, R⁹, R¹⁰, R¹¹, R¹² and R¹³ are, independently, H or C₁₋₄ alkyl;

R¹⁴ is H or C₁₋₄ alkyl; and,

R¹⁵ is H or C₁₋₄ alkyl;

or a pharmaceutically acceptable salt thereof or solvate thereof, or a solvate of such a salt.

Claim 3 (currently amended): A-The compound of formula (I) or a pharmaceutically acceptable salt or solvate thereof, or a solvate of such a salt, as claimed in claim 2 wherein:

X is (CH₂)₄;

R¹ is CO₂R¹⁵;

R² is straight-chain C₁₋₆ alkyl substituted at its terminus by NH₂, CNH(NH₂) or NHCNH(NH₂); C₃₋₆ cycloalkyl substituted by NH₂, CNH(NH₂) or NHCNH(NH₂); heterocycl containing at least one nitrogen atom; non-nitrogen containing heterocycl substituted with NH₂, CNH(NH₂) or NHCNH(NH₂); heteroaryl substituted with NH₂,

CNH(NH₂) or NHCNH(NH₂); phenyl substituted with NH₂, CNH(NH₂) or NHCNH(NH₂); heteroaryl(C₁₋₄)alkyl substituted with NH₂, CNH(NH₂) or NHCNH(NH₂); phenyl(C₁₋₄)alkyl substituted with NH₂, CNH(NH₂) or NHCNH(NH₂); or C₃₋₆ cycloalkyl(C₁₋₄)alkyl substituted with NH₂, CNH(NH₂) or NHCNH(NH₂); all of the above rings being optionally further substituted by one or more of: halogen, hydroxy, cyano, C₁₋₄ alkyl, CF₃, C₁₋₄ alkoxy or OCF₃;

one of R³, R⁴, R⁵ and R⁶ is independently, hydrogen, heteroaryl(C₁₋₄)alkyl (wherein the heteroaryl ring is optionally substituted by halogen, hydroxy, cyano, C₁₋₄ alkyl, CF₃, C₁₋₄ alkoxy, OCF₃, NH₂, CNH(NH₂) or NHCNH(NH₂)); and the others are, independently, hydrogen, C₁₋₆ alkyl (optionally substituted by halogen, hydroxy, cyano, SH, S(O)₃H, S(O)_q(C₁₋₆ alkyl), OC(O)(C₁₋₄ alkyl), CF₃, C₁₋₄ alkoxy, OCF₃, COOH, CONH₂, CONH(C₁₋₆ alkyl), NH₂, CNH(NH₂), or NHCNH(NH₂)), C₃₋₆ cycloalkyl(C₁₋₄)alkyl (wherein the cycloalkyl ring is optionally substituted by halogen, hydroxy, cyano, C₁₋₄ alkyl, CF₃, C₁₋₄ alkoxy, OCF₃, NH₂, CNH(NH₂) or NHCNH(NH₂)), heterocyclyl(C₁₋₄)alkyl (wherein the heterocyclyl ring is optionally substituted by halogen, hydroxy, cyano, C₁₋₄ alkyl, CF₃, C₁₋₄ alkoxy, OCF₃, NH₂, CNH(NH₂) or NHCNH(NH₂)), phenyl(C₁₋₄)alkyl (wherein the phenyl ring is optionally substituted by halogen, hydroxy, cyano, C₁₋₄ alkyl, CF₃, C₁₋₄ alkoxy, OCF₃, NH₂, CNH(NH₂) or NHCNH(NH₂)) or heteroaryl(C₁₋₄)alkyl (wherein the heteroaryl ring is optionally substituted by halogen, hydroxy, cyano, C₁₋₄ alkyl, CF₃, C₁₋₄ alkoxy, OCF₃, NH₂, CNH(NH₂) or NHCNH(NH₂));

p and q are, independently, 0, 1 or 2;

R⁷, R⁸, R⁹, R¹⁰, R¹¹, R¹² and R¹³ are, independently, H or C₁₋₄ alkyl;

R¹⁴ is H or C₁₋₄ alkyl; and,

R¹⁵ is H or C₁₋₄ alkyl;

or a pharmaceutically acceptable salt ~~thereof or solvate thereof, or a solvate of such a salt.~~

Claim 4 (currently amended): ~~A-The~~ compound of formula (I)-~~or a pharmaceutically acceptable salt or solvate thereof, or a solvate of such a salt as claimed in claim 2-3~~ wherein: R¹ is CO₂R¹⁵;

R² is straight-chain C₁₋₆ alkyl substituted at its terminus by NH₂, CNH(NH₂) or NHCNH(NH₂); C₄ alkyl (such as CH(CH₃)CH₂CH₃ or CH₂CH(CH₃)₂); or (aminopyridinyl)methyl (for example (6-aminopyridin-3-yl)methyl); one of R³ and R⁴ is (indol-3-yl)CH₂ optionally substituted by halo or hydroxy; and the other is benzyl (optionally substituted by halo or hydroxy) or C₄ alkyl (such as CH(CH₃)CH₂CH₃ or CH₂CH(CH₃)₂); or R³ and R⁴ are both methyl; R⁵ and R⁶ are, independently, C₁₋₆ alkyl (for example CH₃, CH(CH₃)₂, CH(CH₃)CH₂CH₃ or CH₂CH(CH₃)₂); R⁷, R⁸, R⁹, R¹¹, R¹², R¹³ and R¹⁴ are H; R¹⁰ is C₁₋₄ alkyl; and, R¹⁵ is H or C₁₋₄ alkyl; or a pharmaceutically acceptable salt thereof.

Claim 5 (currently amended): The method of claim 1 A compound as claimed in any one of claims 2 to 4 wherein X is (CH₂)₄.

Claim 6 (currently amended): The method of claim 1 A compound as claimed in any one of claims 2 to 5 wherein R¹ is CO₂R¹⁵ in which R¹⁵ is H or C₁₋₄ alkyl.

Claim 7 (currently amended): A-The compound as claimed in claim 2-any one of claims 2 to 6 wherein R² is straight-chain C₁₋₆ alkyl substituted at its terminus by NH₂, CNH(NH₂) or NHCNH(NH₂); C₄ alkyl (such as CH(CH₃)CH₂CH₃ or CH₂CH(CH₃)₂); or (aminopyridinyl)methyl.

Claim 8 (currently amended): A-The compound as claimed in claim 2-any one of claims 2 to 4 wherein R² is C₁₋₆ alkyl (CH(CH₃)CH₂CH₃ or CH₂CH(CH₃)₂), benzyl, or straight-chain C₁₋₆ alkyl substituted at its terminus by NH₂, CNH(NH₂), NHCNH(NH₂) or (6-aminopyridin-3-yl)methyl.

Claim 9 (**currently amended**): A-The compound as claimed in claim 2 ~~any one of claims 2 to 8~~ wherein R² is straight-chain C₁₋₆ alkyl substituted at its terminus by NH₂, CNH(NH₂), NHCNH(NH₂) or (6-aminopyridin-3-yl)methyl.

Claim 10 (**currently amended**): A-The compound as claimed in claim 2 ~~any one of claims 2 to 8~~ wherein R³ is CH₂indolyl, ~~-(~~wherein the indolyl is optionally substituted by one or more of: halogen or hydroxy, C₁₋₄ alkyl or benzyl (optionally substituted by halogen or hydroxy).

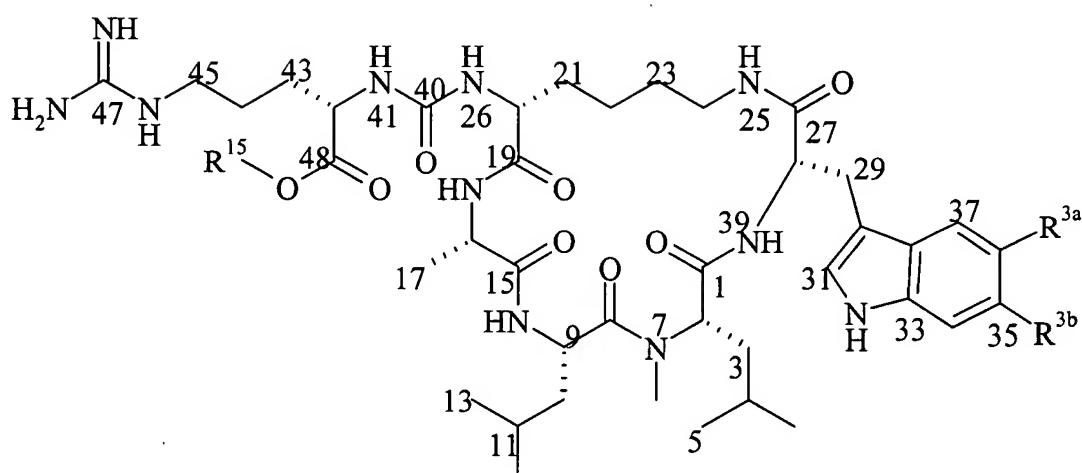
Claim 11 (**currently amended**): A-The compound as claimed in claim 2 ~~any one of claims 2 to 10~~ wherein R⁴ is CH₂indolyl, ~~-(~~wherein the indolyl is optionally substituted by one or more of: halogen or hydroxy, C₁₋₆ alkyl-(CH(CH₃)CH₂CH₃ or CH₂CH(CH₃)₂) or benzyl (optionally substituted by halogen or hydroxy).

Claim 12 (**currently amended**): A-The compound as claimed in claim 2 ~~any one of claims 2 to 11~~ wherein R⁵ and R⁶ are, independently, C₁₋₆ alkyl ~~-(such as methyl, iso-propyl, CH(CH₃)CH₂CH₃ or CH₂CH(CH₃)₂)~~.

Claim 13 (**currently amended**): A-The compound as claimed in claim 2 ~~any one of claims 2 to 12~~ wherein R⁷, R⁸, R⁹, R¹¹, R¹², R¹³ and R¹⁴ are all H.

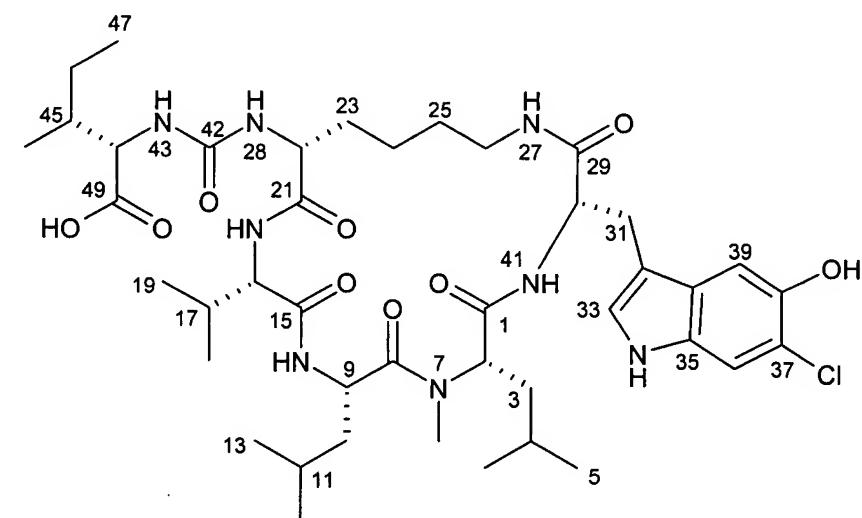
Claim 14 (**currently amended**): A-The compound as claimed in claim 2 ~~any one of claims 2 to 4~~ wherein R¹⁰ is C₁₋₄ alkyl.

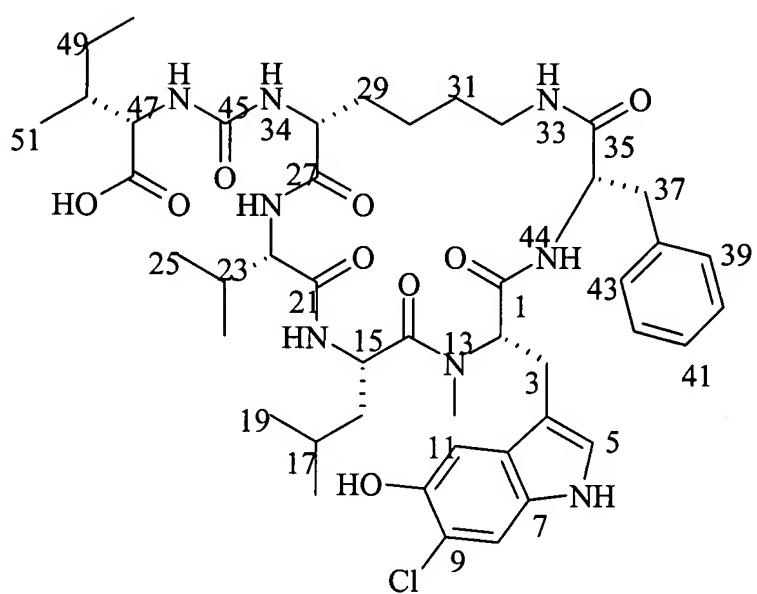
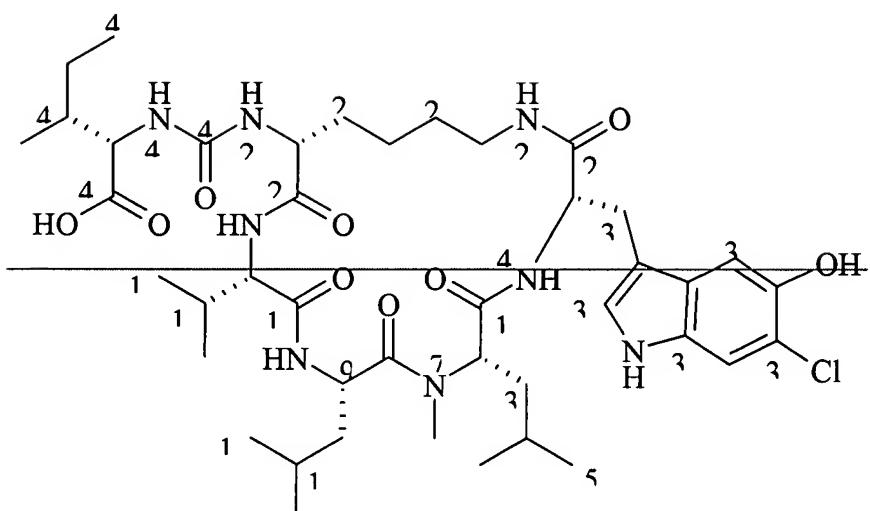
Claim 15 (**currently amended**): A-The compound as claimed in claim 2 which is a compound of the following formula

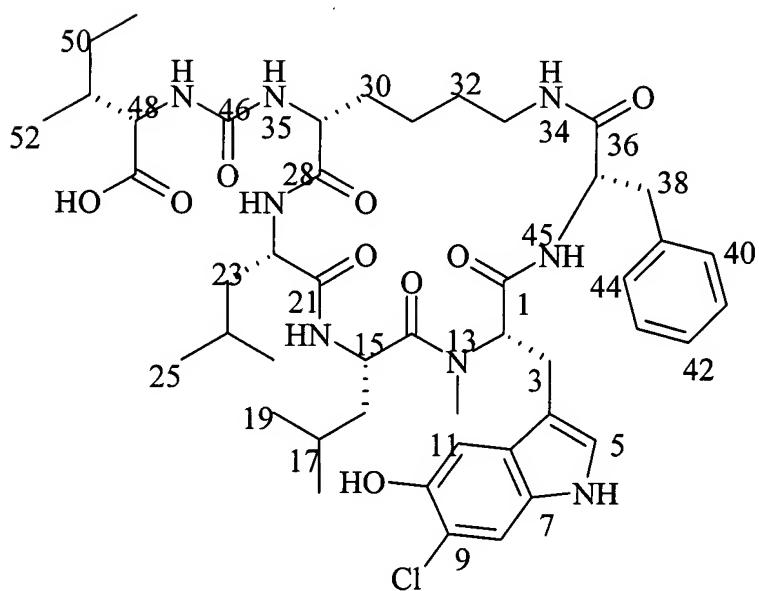
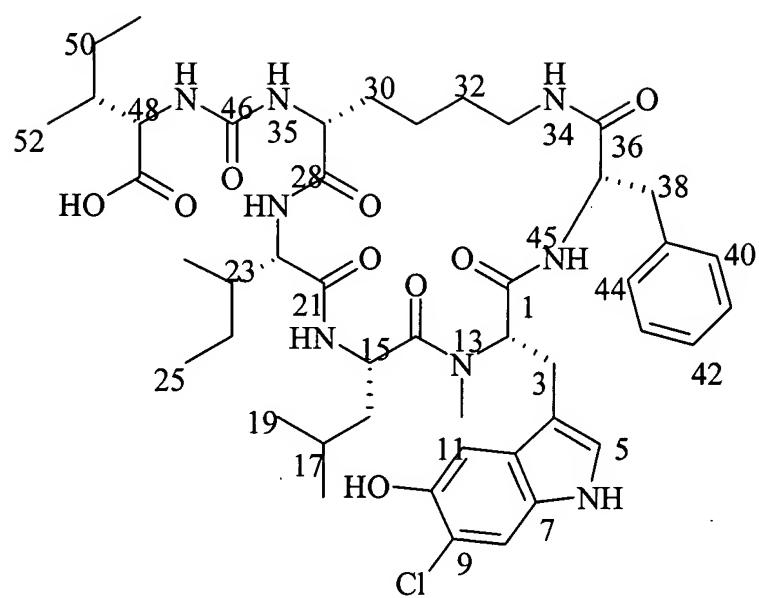


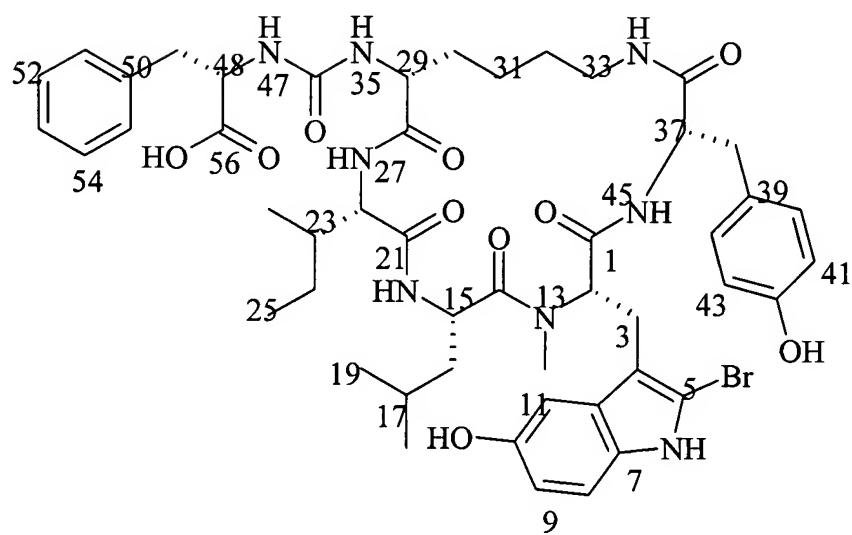
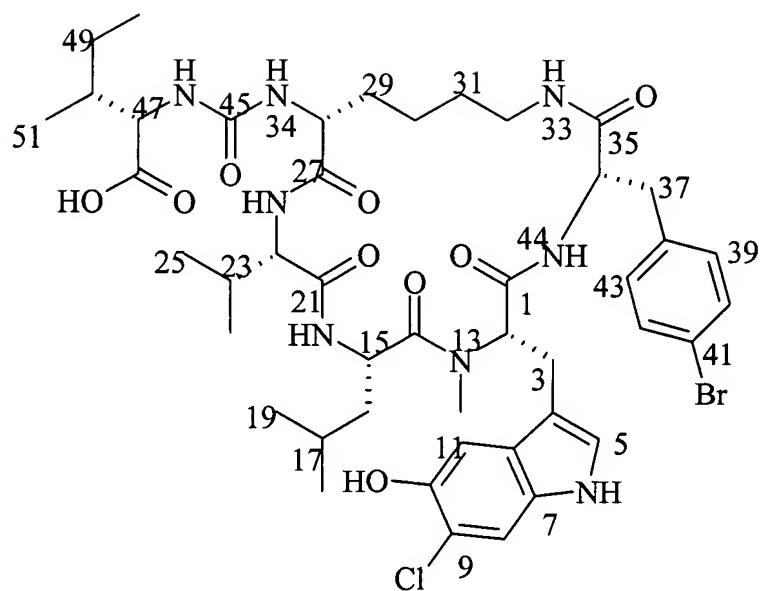
in which

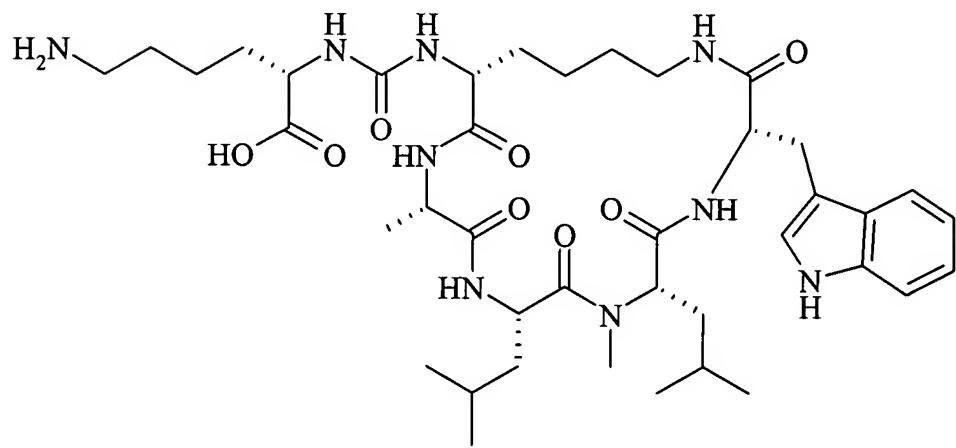
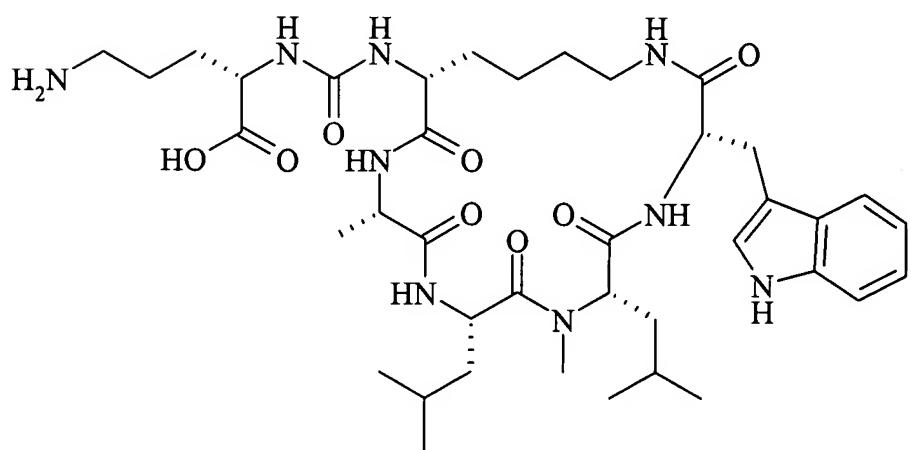
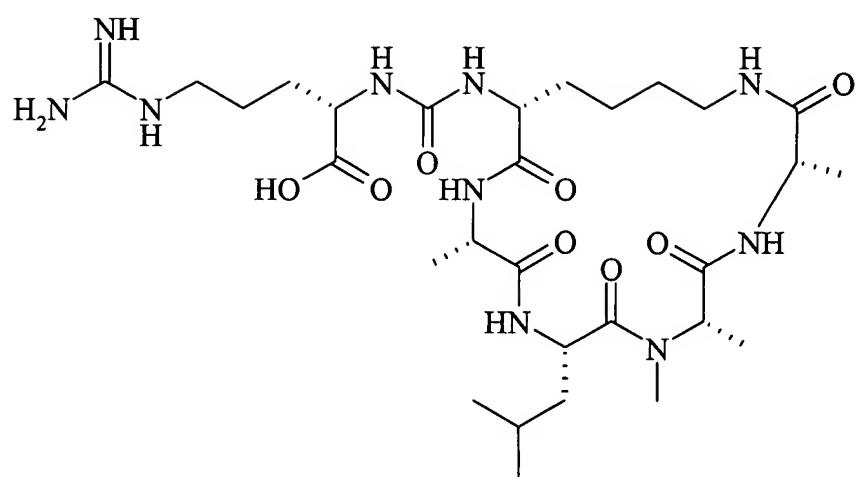
- R**^{3a} is H, **R**^{3b} is H and **R**¹⁵ is H;
- R**^{3a} is OH, **R**^{3b} is Cl and **R**¹⁵ is H;
- R**^{3a} is OH, **R**^{3b} is Cl and **R**¹⁵ is CH₃;
- R**^{3a} is H, **R**^{3b} is H and **R**¹⁵ is CH₃;
- R**^{3a} is H, **R**^{3b} is Cl and **R**¹⁵ is H;

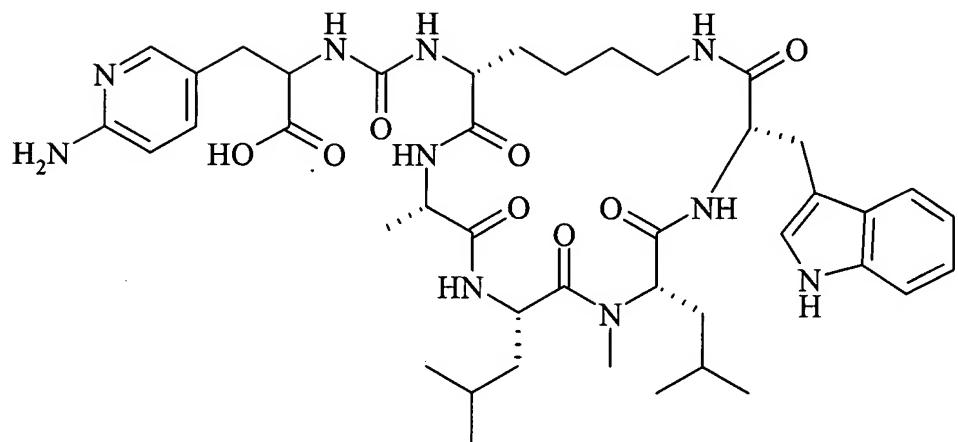




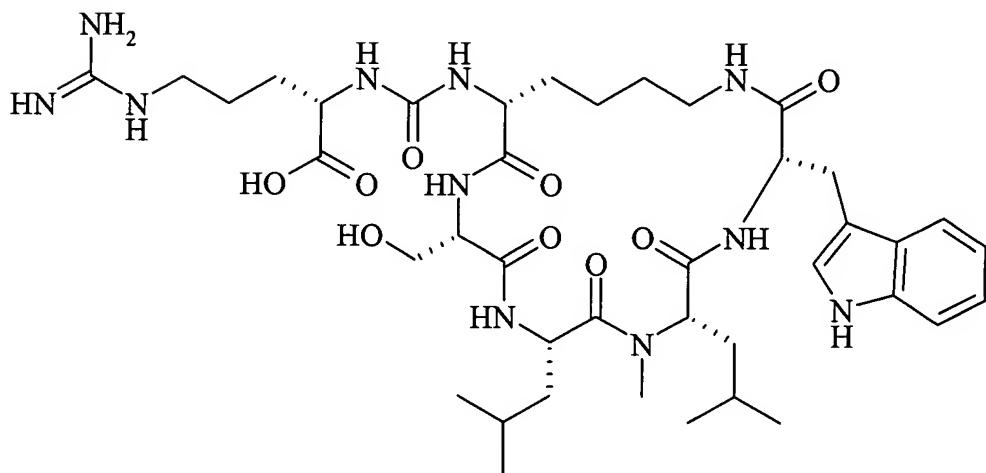








| or



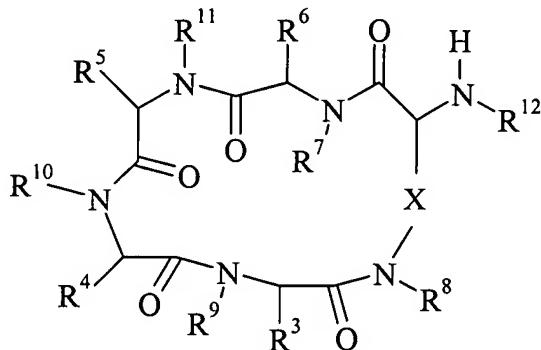
or a pharmaceutically acceptable salt thereof or solvate thereof, or a solvate of a pharmaceutically acceptable salt thereof.

Claim 16 (currently amended): A method for the treatment or prophylaxis of a disease or medical condition wherein inhibition of carboxypeptidase U is beneficial, said method comprising administering to a warm-blooded animal in need thereof an effective amount The use of a compound of formula (I) or a pharmaceutically acceptable salt thereof or solvate thereof, or a solvate of such a salt; as claimed in claim 2 any one of claims 2 to 15 in a method of manufacturing a medicament for the treatment or prophylaxis of a condition wherein inhibition of carboxypeptidase U is beneficial.

Claim 17 (currently amended): The method as claimed in claim 16 wherein said disease or medical condition is selected from for the manufacture of a medicament for the treatment or prophylaxis of thrombosis and/or hypercoagulability in blood and/or tissues; atherosclerosis; fibrotic conditions; inflammatory diseases; or a condition which benefits from maintaining or enhancing bradykinin levels in the body of a mammal (such as man).

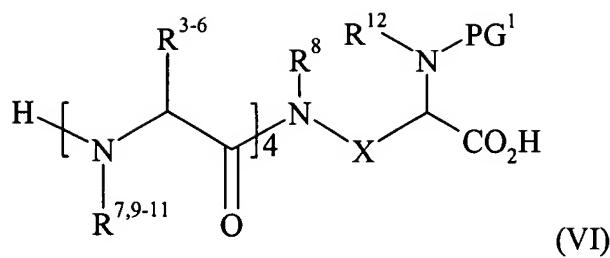
Claim 18 (currently amended): A pharmaceutical formulation comprising containing a compound of formula (I) or a pharmaceutically acceptable salt thereof or solvate thereof, or a solvate of such a salt; as claimed in claim 2 any one of claims 2 to 15 as active ingredient in combination with a pharmaceutically acceptable adjuvant, diluent or carrier.

Claim 19 (currently amended): A compound of formula



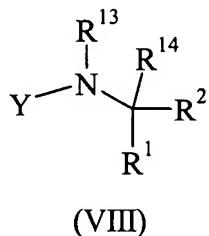
wherein R³ to R¹² and X are as defined in claim 2 any one of claims 1 to 14.

Claim 20 (currently amended): A process for preparing a compound as claimed in claim 19 which comprises treating a compound of formula VI in which PG1 is a suitable protecting group with a peptide coupling agent in the presence of a non-nucleophilic base in a polar aprotic solvent and then removing the protecting group.



in which PG¹ is a suitable protecting group with a peptide coupling agent in the presence of a non-nucleophilic base in a polar aprotic solvent and then removing the protecting group.

Claim 21 (currently amended): A process for preparing a compound of formula I as claimed in claim 2 ~~any one of claims 2 to 17~~ which comprises reacting a compound of formula VII as defined in claim 19 with a compound of formula VIII



in which Y is an activated ester or NY is an isocyanate group.

Claim 22 (new): The method as claimed in claim 1 wherein said disease or medical condition is selected from thrombosis and/or hypercoagulability in blood and/or tissues; atherosclerosis; fibrotic conditions; inflammatory diseases; or a condition which benefits from maintaining or enhancing bradykinin levels in the body of a mammal.